Tobacco Smoking Cessation: Pharmacotherapy Review

Pharmacotherapy is recommended for **nonpregnant** people who use tobacco to help reduce the symptoms of nicotine withdrawal and increase the overall success rate of quitting. There are several FDA-approved tobacco smoking cessation pharmacotherapy options available (varenicline, bupropion, nicotine replacement therapy [NRT]). Counseling to ensure proper use of these medications is **critical** to improve quitting success rates.

The selection of pharmacotherapy should be guided by **efficacy** and **safety** considerations, along with patient-specific characteristics.

NON-NICOTINE REPLACEMENT THERAPIES

DRUG	DOSING
Varenicline oral tablets *Prescription only*	**Initial (start 1 week prior to anticipated quit date):** 0.5 mg once daily for 3 days, then 0.5 mg twice daily for 4 days • Alternatively, the patient can begin varenicline and then quit smoking between **days 8 and 35** of treatment **Maintenance:** 1 mg twice daily Patients should be treated for **12 weeks**. Those who have **successfully** stopped smoking should receive an **additional** 12 weeks of treatment to further increase the likelihood of long-term abstinence. For patients who are sure that they are **not** able or willing to quit abruptly, consider a **gradual approach**. Patients should begin varenicline dosing and reduce smoking by **50%** from baseline within the first 4 weeks, by an additional 50% in the next 4 weeks, and continue reducing with the goal of reaching **complete abstinence** by 12 weeks. Continue varenicline treatment for an **additional 12 weeks**, for a total of 24 weeks of treatment.
Bupropion SR oral tablets *Prescription only*	**Initial (start 1 week prior to anticipated quit date):** 150 mg once daily for 3 days **Maintenance:** 150 mg twice daily (each dose separated by at least 8 hours) Patients should be treated for **7-12 weeks** (extended treatment duration may be considered for certain patients). If complete cessation is **not** achieved after 7-12 weeks, **discontinue** bupropion and reassess treatment plan.

NICOTINE REPLACEMENT THERAPIES

DRUG	DOSING
Transdermal patch *Prescription and OTC*	**Smoking >10 cigarettes daily:** Use 21 mg patch daily for weeks 1-6, then 14 mg patch daily for weeks 7-8, then 7 mg patch daily for weeks 9-10 **Smoking ≤10 cigarettes daily:** Use 14 mg patch daily for weeks 1-6, then 7 mg patch daily for weeks 7-8 Note: Wear each patch for **16-24 hours** per day. If vivid dreams/sleep disturbances occur, remove patch at **bedtime** and apply a new one in the morning.
Gum or lozenge *Prescription and OTC*	**Smoking first cigarette ≤30 minutes of waking:** 4 mg every 1-2 hours for weeks 1-6, then 4 mg every 2-4 hours for weeks 7-9, then 4 mg every 4-8 hours for weeks 10-12 **Smoking first cigarette >30 minutes of waking:** 2 mg every 1-2 hours for weeks 1-6, then 2 mg every 2-4 hours for weeks 7-9, then 2 mg every 4-8 hours for weeks 10-12 Note: To improve chances of quitting, use at least **9** lozenges/pieces of gum per day for the **first 6 weeks.**
Nasal spray (0.5 mg per spray) *Prescription only*	**Initial:** 1-2 doses (one dose = 2 sprays, one in each nostril) per hour (recommended **minimum** of 8 doses per day) • The dosage may be adjusted up to a maximum of 5 doses per hour or 40 doses per day Patients who are successfully abstinent should be treated at the selected dosage for up to **8 weeks**, then the spray should be discontinued over the next **4-6 weeks**. Some patients may not require tapering and may abruptly stop treatment successfully. Safety of use for longer than **6 months** has not been established.

Nicotine oral inhaler was discontinued in 2023.

EFFICACY

MONOTHERAPY

- **Varenicline** has been shown to be the **most effective** (compared to bupropion SR or any form of NRT)
- Bupropion SR and NRT appear to be similarly effective to one another

COMBINATION THERAPY

- Long-acting **plus** short-acting NRT (e.g., ER patch and gum) has been shown to be **more effective** than the use of any single NRT alone
- Bupropion SR **plus** a single form of NRT has demonstrated improved efficacy compared to either therapy alone
- Limited evidence suggests that varenicline **plus** bupropion SR **or** NRT may be more effective than varenicline alone, especially in people who smoke heavily

SAFETY PRECAUTIONS

NICOTINE REPLACEMENT THERAPY

All NRT*:
- Recent (≤2 weeks) myocardial infarction
- Serious underlying arrhythmias
- Serious or worsening angina pectoris

Nasal spray:
- Underlying chronic nasal disorders (rhinitis, nasal polyps, sinusitis)
- Severe reactive airway disease

BUPROPION SR

- Concomitant medications/conditions known to lower the seizure threshold
- Hepatic impairment
- Treatment-emergent neuropsychiatric symptoms^

VARENICLINE

- Severe renal impairment
- Treatment-emergent neuropsychiatric symptoms^

** The concern noted in labeling with using NRT in these conditions is primarily due to its **cardiovascular effects**, including increased HR, BP, and vasoconstriction, which can **exacerbate** underlying heart conditions or lead to serious complications. However, some evidence suggests safety of NRT in patients hospitalized for heart disease.*

*^ Postmarketing reports of clinically significant neuropsychiatric adverse events, including suicidal behavior, resulted in the FDA issuing a boxed warning for these concerns in 2009. More recent research, including a large randomized controlled trial, does **not** support concerns for these warnings. The FDA **removed** the boxed warning for these concerns in 2016.*

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